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FINNEGAN, HENDERSON,
FARABOW, GARRETT,
& DUNNER, L. L. P.
1300 I STREET, N. W.
WASHINGTON, DC 20005
202-408-4000

$$(R)_m$$
 $(R)_m$ 
 $(R)_m$ 

wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy[, hydroxy and halogen] when a is 2 and X is -O-;

[( $R_1$ ) is  $R_{20}$ ,  $R_{21}$ , or  $R_{22}$ , wherein:

 $R_{20}$  is -(CH<sub>2</sub>)<sub>n</sub>-, where] n is 2, 3, 4 or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

 $-CH_2-C \equiv C-CH_2-$ 

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

$$-CH_2-C \equiv C-CH_2-CH_2-$$
, or

$$-CH_2-CH_2-C = C-CH_2-,$$

the -CH=CH- bond being cis or trans;

 $R_{22}$  is  $R_{20}$  or  $R_{21}$  in which one or more carbon atoms of  $R_{20}$  or  $R_{21}$  are substituted by at least one  $C_1$ - $C_6$  linear alkyl group, phenyl group or

where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR<sub>7</sub>)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

alkyl is lower alkyl; aryl is phenyl or

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where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,

trifluoromethyl, trifluoromethoxy;

heteroaryl is



 $Q_3$  is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;]

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

[R<sub>8</sub> is lower alkyl;

 $R_9$  is hydroxy, lower alkoxy, or -NHR $_{10}$ ; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.



- 52. (Amended) A compound as claimed in claim [1] 132, which is N,N-dimethyl-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxybenzamide, or a pharmaceutically acceptable acid addition salt thereof.
- 53. (Amended) A compound as claimed in claim [1] 132, which is 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]-3-methoxyphenyl]ethanone oxime, or a pharmaceutically acceptable acid addition salt thereof.
- 54. (Amended) A compound as claimed in claim [1] 132, which is 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]methoxyphenyl]ethanone oxime O-methyl ether, or a pharmaceutically acceptable acid addition salt thereof.
- 55. (Amended) A compound as claimed in claim [1] 132, which is 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-propoxy]-3-methoxyphenyl]ethanone hydrazone, or a pharmaceutically acceptable acid addition salt thereof.
- 56. (Amended) A compound as claimed in claim [1] 132, which is 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]-propyl]-4-piperidinyl]-1,2-benzisoxazole, or a pharmaceutically acceptable acid addition salt thereof.

- 57. (Amended) A compound as claimed in claim [1] <u>87</u>, which is (Z)-1-[4-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.
- 58. (Amended) A compound as claimed in claim [1] <u>87</u>, which is (E)-1-[3-[4-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof
- 59. (Amended) A compound as claimed in claim [1] <u>87</u>, which is (E)-1-[3-[4-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-benzyloxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.
- 65. (Amended) A compound as claimed in claim [1] 104, which is 1-(R)-(-)-[4-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methyl-1-propoxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.
- 66. (Amended) A compound as claimed in claim [1] 104, which is 1-(S)(+)-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methyl-1-propoxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

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78. (Amended) A compound of the formula:

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at Bot cont

$$(Y)_{p}$$
 $CH$ 
 $N-(CH_{2})_{n}-O$ 

wherein p is 1 or 2;

Y is hydrogen, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen,  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  alkoxy, hydroxyl, alkanoyl, Cl, F, Br, I, amino,  $C_1$ - $C_3$  mono or dialkyl amino, acylamino,  $NO_2$ ,  $-OCF_3$ ,  $-CF_3$ , alkyl-C(=O)-,  $CF_3$ -C(=O)-, or  $-CH(OR_7)$ -alkyl;

alkyl is lower alkyl;

 $R_7$  is hydrogen, lower alkyl, lower alkyl-C(=O)-, or  $CF_3$ -C(=Q)-;

and m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof or a pharmaceutically acceptable acid addition salt thereof.

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79. (Amended) A compound of the formula:

$$(Y)_p$$
 $CH$ 
 $N-(CH_2)_n-O$ 

wherein p is 1 or 2;

Y is hydrogen, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, acyl, alkanoyl, Cl, F, Br, I, amino, C<sub>1</sub>-

C<sub>3</sub> mono or dialkyl amino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>, -CF<sub>3</sub>, alkyl-C(=O)-,

 $CF_3$ -C(=O)-, or - $CH(OR_7)$ -alkyl;

alkyl is lower alkyl;

 $R_7$  is hydrogen, lower alkyl, lower alkyl-C(=O)-, or  $CF_3$ -C(=O)-;

and m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof or a pharmaceutically acceptable acid addition salt thereof.

80. (Amended) A compound as claimed in claim 1 [of the formula:

E E

 $(R)_m$ 

wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;

 $(R_1)$  is  $R_{20}$ ,  $R_{21}$ , or  $R_{22}$ , wherein:

 $R_{20}$  is -(CH<sub>2</sub>)<sub>n</sub>-, where n is 2, 3, 4 or 5;

R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

 $-CH_2-C \equiv C-CH_2-$ 

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

 $-CH_2-C \equiv C-CH_2-CH_2-$ , or

 $-CH_2-CH_2-C\equiv C-CH_2-$ 

the -CH=CH- bond being cis or trans;

 $R_{22}$  is  $R_{20}$  or  $R_{21}$  in which one or more carbon atoms of  $R_{20}$  or  $R_{21}$  are substituted

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at Bot cont by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or

$$\text{lower alkyleneyl} \qquad \qquad (Z_1)_p$$

where  $Z_1$  is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen; and R and m are as defined hereinafter;

m is 1, 2, or 3; and

when m is 1, 2, or 3, R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-heteroaryl,

-CH(OR<sub>7</sub>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

alkyl is lower alkyl;

aryl is phenyl or

$$R_5$$
 ,

where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano,

Q4 B6 trifluoromethyl, trifluoromethoxy;

heteroaryl is



Q<sub>3</sub> is -O-, -S-, NH-, <u>or</u> -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

 $R_9$  is hydroxy, lower alkoxy, or NHR<sub>10</sub>; and

 $R_{10}$  is hydrogen, lower alkyl,  $C_1$ - $C_3$  acyl, aryl,

$$-C(=O)$$
-aryl or  $-C(=O)$ -heteroaryl,

where aryl and heteroaryl are as defined above; and]

with the proviso that when m is 3, R is not -C(=Q)-aryl, or -C(=Q)-heteroaryl[;].

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

81. (Amended) A compound as claimed in claim [1] <u>87</u>, which is (E)-1-[4-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]ethanone, or a pharmaceutically acceptable acid addition salt thereof.

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- 82. (Amended) A pharmaceutical composition, which comprises <u>a</u> compound as claimed in claims [1-81] <u>1-75 and 77-81</u>, and a pharmaceutically acceptable carrier therefor.
- 83. (Amended) An antipsychotic composition which comprises a compound as claimed in claims [1-81] 1.75 and 77-81, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.
- 84. (Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claims [1-81] 1-75 and 77-81.
- 85. (Amended) An analgesic composition which comprises a compound as claimed in claims [1-81] 1-75 and 77-81, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.
- 86. (Amended) A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claims [1-81] 1.75 and 77-81.

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FINNEGAN, HENDERSON,
FARABOW, GARRETT,
& DUNNER, L. L. P.
1300 I STREET, N. W.
WASHINGTON, DC 20005
202-408-4000

87. A compound of the formula

25 23

wherein

X is -O- or -S-

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

 $(R_1)$  is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

 $-CH_2-C\equiv C-CH_2-$ 

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH2-CH2-CH=CH-CH2-,

 $-CH_2-C \equiv C-CH_2-CH_2-$ , or

 $-CH_2-CH_2-C \equiv C-CH_2-$ 

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

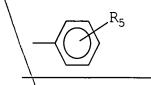
aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

 $-CH(OR_7)$ -alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or



where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where  $Q_3$  is -O-, -S-, -NH-, or -CH=N-;

W is CH2 or CHR8 or N-R9;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

 $R_{10}$  is hydrogen, lower alkyl,  $C_1$ - $C_3$  acyl, aryl,

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## -C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

- 88. The compound of claim 87, wherein the pharmaceutically acceptable addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.
- 89. The compound of claim 88, wherein said pharmaceutically acceptable addition salts are selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.
  - 90. The compound of claim 87, wherein Y is in the 5 position.
  - 91. The compound of claim 87, wherein Y is in the 6 position.
- 92. The compound of claim 87, wherein Y is selected from the group consisting of hydrogen, chlorine, bromine and fluorine.



- 93. The compound of claim 92, wherein Y is fluorine.
- 94. The compound of claim 93, wherein Y is in the 6 position.
- 95. The compound of claim 87, wherein p is 2, X is -O-, and Y is selected from the group consisting of lower alkoxy, hydroxy and halogen groups.
  - 96. The compound of claim 95, wherein Y is a methoxy group.
  - 97. The compound of claim 87, wherein R<sub>1</sub> is -CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-.
- 98. The compound of claim 87, wherein R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, -COCF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkanoyl, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub>

alkylamino, -NO<sub>3</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, and -C-lower alkyl.

- 99. A pharmaceutical composition, which comprises a compound as claimed in claim 87, and a pharmaceutically acceptable carrier therefor.
- 87, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

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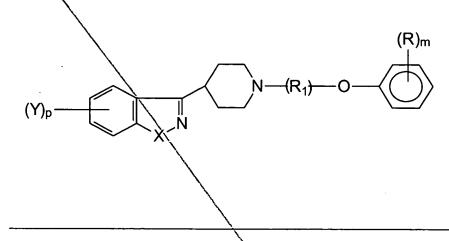
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101. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 87.

102. An analgesic composition which comprises a compound as claimed in claim 87, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

103. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 87.

104. A compound of the formula



wherein

X is -O- or -S-;

p\_is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

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FARABOW, GARRETT,
8 DUNNER, L. L. P.
1300 I STREET, N. W.

WASHINGTON, DC 20005 202-408-4000 Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

 $(R_1)$  is  $R_{20}$  or  $R_{21}$  in which one or more carbon atoms of  $R_{20}$  or  $R_{21}$  are substituted by at least one  $C_1$ - $C_6$  linear alkyl group, phenyl group or

lower alkyleneyl—(Z<sub>1</sub>)<sub>p</sub>

where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen;

 $R_{20}$  is -(CH<sub>2</sub>)<sub>n</sub>-, where n is 2, 3, 4 or 5;

 $\underline{\mathbf{R}}_{21}$  is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

 $-CH_2-C \equiv C-CH_2-$ 

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

 $-CH_2-C \equiv C-CH_2-CH_2-$ , or

 $-CH_2-CH_2-C \equiv C-CH_2-$ 

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

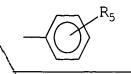
aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-o-alkyl, -C(=O)-heteroaryl,

-CH(OR<sub>7</sub>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or



where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where  $Q_3$  is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,



where aryl and heteroaryl are as defined above; and

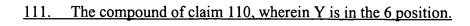
m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

105. The compound of claim 104, wherein the pharmaceutically acceptable addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

106. The compound of claim 105, wherein said pharmaceutically acceptable addition salts are selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

- 107. The compound of claim 104, wherein Y is in the 5 position.
- 108. The compound of claim 104, wherein Y is in the 6 position.
- 109. The compound of claim 104, wherein Y is selected from the group consisting of hydrogen, chlorine, bromine and fluorine.
  - 110. The compound of claim 109, wherein Y is fluorine.



- 112. The compound of claim 104, wherein p is 2, X is -O-, and Y is selected from the group consisting of lower alkoxy, hydroxy and halogen groups.
  - 113. The compound of claim 112, wherein Y is a methoxy group.

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114. The compound of claim 104, wherein R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkexy, hydroxyl, -COCF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkanoyl, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub>

alkylamino, -NO<sub>3</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, and -C-lower alkyl.

- 115. A pharmaceutical composition, which comprises a compound as claimed claim

  104, and a pharmaceutically acceptable carrier therefor.
- 116. An antipsychotic composition which comprises a compound as claimed in claim

  104, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically

  acceptable carrier therefor.
- 117. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 104.

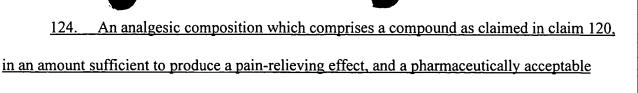
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118. An analgesic composition which comprises a compound as claimed in claim 104, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

- 119. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 104.
- 120. A compound as claimed in claim 87, with the proviso that when m is 3, R is not

  -C(=O)-aryl, or -C(=O)-heteroaryl, all geometric, optical and stereoisomers thereof, or a

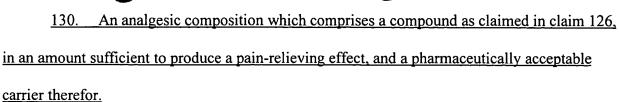
  pharmaceutically acceptable acid addition salt thereof.
- 121. A pharmaceutical composition, which comprises a compound as claimed in claim
  120, and a pharmaceutically acceptable carrier therefor.
- 122. An antipsychotic composition which comprises a compound as claimed in claim
  120, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically
  acceptable carrier therefor.
- 123. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 120.



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carrier therefor.

- 125. A method of alleviating pain, which comprises administering to a mammal a painrelieving effective amount of a compound as claimed in claim 120.
- 126. A compound as claimed in claim 104, with the proviso that when m is 3, R is not -C(=O)-aryl, or -C(=O)-heteroaryl, all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.
- 127. A pharmaceutical composition, which comprises a compound as claimed in claim 126, and a pharmaceutically acceptable carrier therefor.
- 128. An antipsychotic composition which comprises a compound as claimed in claim
  126, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically
  acceptable carrier therefor.
- 129. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 126.



131. A method of alleviating pain, which comprises administering to a mammal a painrelieving effective amount of a compound as claimed in claim 126.

132. A compound of the formula

$$(Y)_p$$
 $N$ 
 $(CH_2)_n$ 
 $(R)_m$ 

wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

as Bot Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

n is 2, 3, 4 or 5;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-oryl, -C(=O)-heteroaryl, or

 $-CH(OR_7)$ -alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

alkyl is lower alkyl;

aryl is phenyl or

$$R_5$$

where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy; heteroaryl is



as Cont  $Q_3$  is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

 $R_{10}$  is hydrogen, lower alkyl,  $C_1$ - $C_3$  acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of dialkylaminocarbonyl, formyl, -C(=W)-alkyl, C(=W)-aryl, and -C(=W)-heteroaryl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

- 133. The compound of claim 132, wherein the pharmaceutically acceptable addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.
  - 134. The compound of claim 133, wherein said pharmaceutically acceptable addition

salts are selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

- 135. The compound of claim 132, wherein Y is in the 5 position.
- 136. The compound of claim 132, wherein Y is in the 6 position.
- 137. The compound of claim 132, wherein Y is selected from the group consisting of hydrogen, chlorine, bromine and fluorine.
  - 138. The compound of claim 137, wherein Y is fluorine.
  - 139. The compound of claim 138, wherein Y is in the 6 position.
- 140. The compound of claim 132, wherein p is 2, X is -O-, and Y is selected from the group consisting of lower alkoxy, hydroxy and halogen groups.
  - 141. The compound of claim 140, wherein Y is a methoxy group.
- 142. The compound of claim 132, wherein one R group is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, COCF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkanoyl, Cl, F, Br,

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 $(C_1-C_3)$  alkylamino,  $(C_1-C_3)$  and  $(C_1-C_3)$  and  $(C_2-C_3)$ 

143. A pharmaceutical composition, which comprises a compound as claimed in claim
132, and a pharmaceutically acceptable carrier therefor.

O

- 144. An antipsychotic composition which comprises a compound as claimed in claim

  132, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically

  acceptable carrier therefor.
- 145. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 132.
- 146. An analgesic composition which comprises a compound as claimed in claim 132, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.
- 147. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 132.